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(54) Title: METHOD FOR IDENTIFYING ANDROGEN RECEPTOR MODULATORS WITH FULL OR MIXED AGONIST ACTIVITY

(57) Abstract: A method for identifying androgen receptor (AR) modulators which have full AR agonist or antagonist activity or mixed AR agonist activity is described. In one aspect of the invention, an analyte with mixed AR agonist activity is identified by comparing binding of the analyte to a human AR to its binding to the ligand binding domain (LBD) of the rhesus monkey AR (or other non-human AR) wherein a difference in binding indicates the analyte likely has mixed agonist activity. In a further aspect of the method, the ratio of AR agonist to AR antagonist activity of the analyte with the mixed AR agonist activity is determined by the effect of the analyte on PSA production in a prostate cancer cell line in the presence and absence of a known full AR agonist. In a further still aspect of the method, analytes which have full AR agonist or antagonist activity are identified by the effect of the analyte on PSA production in a prostate cancer cell line in the presence and absence of a known full AR agonist. The present invention is particularly useful for identifying analytes which are selective androgen receptor modulators (SARMs).

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